

ATT 04 ANDT

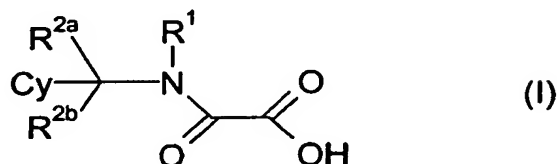
10/501344

DT15 Rec'd PGT/PTO 26 JUL 2004

- 295 -

Claims

1. Substituted methylene amide derivative of Formula (I) :



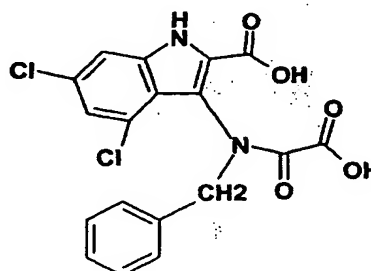
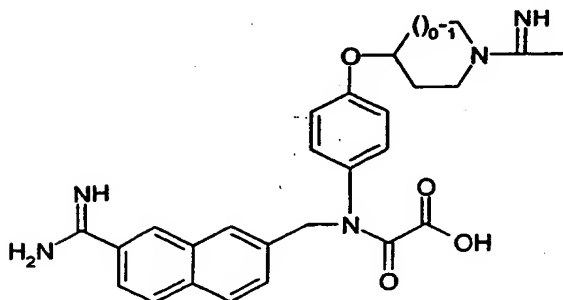
as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

R^1 is selected from the group consisting of (C₁-C₁₅)alkyl, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, aryl, heteroaryl, (3-8-membered)-cycloalkyl or heterocycloalkyl, (C₁-C₁₂)alkyl-aryl or (C₁-C₁₂)alkyl-heteroaryl, (C₂-C₁₂)alkenyl-aryl or -heteroaryl, (C₂-C₁₂)alkynyl-aryl or -heteroaryl;

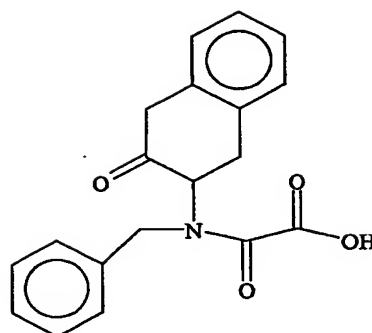
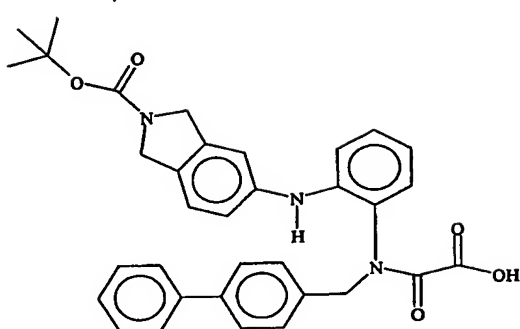
R^{2a} and R^{2b} are each independently from each other selected from the group comprising or consisting of H or (C₁-C₁₂)alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle group,

with the proviso that the following compounds are excluded :



- 296 -



2. Substituted methylene amide derivatives according to claim 1, wherein R^{2a} and R^{2b} are each H.
3. A substituted methylene amide derivative according to claim 1 or 2, wherein Cy is a thienyl or a phenyl group.
4. A substituted methylene amide derivative according to claim 3, wherein Cy is a thienyl, phenyl being substituted by a phenyl or an oxadiazole group or by 1 or 2 moieties selected from the group consisting of $-NH-CO-R^3$, $-SO_2-NR^3R^{3'}$, or $-CO-NR^3R^{3'}$ in which R^3 , $R^{3'}$ are independently selected from H, (C_1-C_{15}) alkyl, (C_2-C_{12}) alkenyl, (C_2-C_{12}) alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, (C_1-C_{12}) alkyl aryl or heteroaryl, (C_2-C_{12}) alkenyl-aryl or -heteroaryl, (C_2-C_{12}) alkynyl-aryl or -heteroaryl.
5. A substituted methylene amide derivative according to claim 4, wherein $R^{3'}$ is H and R^3 is selected from the group consisting of diphenyl-ethyl, dodecyl, octyl, 4-pentyl-benzyl, 4-phenoxy-phenethyl, ethyl-thiophen-2-yl, pentadecyl, tridecyl, hexyloxy-phenyl or (2-ethyl)-hexyl.

- 297 -

6. A substituted methylene amide according to any of claim 1 or 2, wherein Cy is aryl, heteroaryl, (3-8-membered)-cycloalkyl or -heterocycloalkyl being substituted by a substituted or unsubstituted (C₂-C₁₈)alkynyl moiety.
7. A substituted methylene amide according to claim 6 wherein Cy is phenyl, pyridinyl, naphthyl or benzofuranyl group, being substituted by B-R⁴ wherein B is ethynyl group and R⁴ is (C₆-C₁₆)alkyl, (3-8 membered) cycloalkyl, (C₁-C₁₂)alkyl-(3-8 membered) cycloalkyl, phenyl or (C₁-C₁₂)alkyl phenyl.
8. A substituted methylene amide according to claim 7 wherein Cy is phenyl being substituted by B-R⁴ wherein B is ethynyl group and R⁴ is (C₆-C₁₆)alkyl.
9. A substituted methylene amide derivative according to any of claims 1 to 8, wherein R¹ is a moiety -CH₂-A, or -CH₂-CH₂-A with A being an aryl, heteroaryl, (3-8-membered)heterocycloalkyl or (3-8-membered)cycloalkyl.
10. A substituted methylene amide derivative according to any of claims 1 to 8, wherein R¹ is A, with A being aryl, heteroaryl, (3-8-membered)heterocycloalkyl or (3-8-membered)cycloalkyl.
11. A substituted methylene amide derivative according to claim 9 or 10, wherein A is selected from the group consisting of phenyl, pyridinyl, benzo-1,3-dioxolenyl, biphenyl, naphthyl, quinoxaliny, thiazolyl, thienyl, furanyl or a piperidinyl group, being optionally substituted by 1 or 2 cyano, halogen, NO₂, (C₁-C₆)alkoxy, aryloxy or heteroaryloxy, (C₁-C₆)thioalkoxy, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkyl-X wherein X is halogen, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, aryl, heteroaryl, (3-8 membered) cycloalkyl or heterocycloalkyl, (C₁-C₁₂)alkyl aryl or heteroaryl, (C₂-C₁₂)alkenyl aryl or heteroaryl, (C₂-C₁₂)alkynyl aryl or heteroaryl, -COR³, -COOR³, -CO-NR³R^{3'}, -NHCOR³ wherein R³ is a (C₁-C₁₂)alkyl or (C₁-C₁₂)alkenyl, -SOR³, -SO₂R³, -SO₂NR³R^{3'} with R³, R^{3'} being independently from each other selected from the group

- 298 -

consisting of H, straight or branched (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, aryl, heteroaryl, (3-8-membered)-cycloalkyl or heterocycloalkyl.

12. A substituted methylene amide derivative according to any claims 1 to 5 and 9 to 11 wherein:

5 R^{2a} and R^{2b} are each H;

R^1 is $-\text{CH}_2\text{-A}$, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, $-\text{NO}_2$, trifluoromethyl;

Cy is a thienyl, phenyl or biphenyl being substituted by $-\text{SO}_2\text{R}^3$, $-\text{CO-NR}^3\text{R}^{3'}$ in which $\text{R}^{3'}$ is H and R^3 is (C₇-C₁₂)alkyl, particularly (C₈-C₁₂)alkyl and more particularly a dodecyl group.

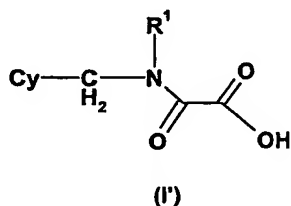
13. A substituted methylene amide derivative according to any claim 1 to 5 and 9 to 11 wherein:

R^{2a} and R^{2b} are each H;

15 R^1 is $-\text{CH}_2\text{-A}$, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, $-\text{NO}_2$, trifluoromethyl;

Cy is a thienyl, phenyl or biphenyl being substituted by $-\text{SO}_2\text{R}^3$, $-\text{CO-NR}^3\text{R}^{3'}$ in which $\text{R}^{3'}$ is H and R^3 is (C₇-C₁₅)alkyl, particularly (C₈-C₁₅)alkyl and more particularly a dodecyl group.

14. Substituted methylene amide derivative of Formula (I') according to any of claims 1 to 5 or 9 to 11



- 299 -

wherein

R¹ is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by (C₁-C₆)alkyl group or a cycloalkyl group;

Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of -NH-CO-R³, -CO-NH-R³, or an oxadiazole group substituted with R³, wherein R³ is (C₇-C₁₅)alkyl, particularly (C₈-C₁₅)alkyl and more particularly a dodecyl group.

15. A substituted methylene amide derivative according to any of the preceding claims selected from the following group:

(benzyl{4-[(dodecylamino)carbonyl] benzyl} amino)(oxo)acetic acid

oxo{ {4-[(pentadecylamino)carbonyl]benzyl} [4-(trifluoromethyl)benzyl]amino} acetic acid

(benzyl{4-[(pentadecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

(benzyl{4-[(tridecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

[benzyl(4-{[dodecyl(methyl)amino]carbonyl} benzyl)amino](oxo)acetic acid

{{4-{[dodecyl(methyl)amino]carbonyl} benzyl}[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid

[[1-(tert-butoxycarbonyl)-4-piperidiny] {4-[(dodecylamino)carbonyl]benzyl}-amino)-(oxo)acetic acid

{{4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid

- 300 -

{ {4-[(dodecylamino)carbonyl]benzyl} [3-(trifluoromethyl)benzyl]amino } (oxo)acetic acid

({ [1-(tert-butoxycarbonyl)-4-piperidinyl]methyl } { 4-[(dodecylamino)carbonyl]benzyl } amino) (oxo)acetic acid

5 oxo { [4-(tridecanoylamino)benzyl] [4-(trifluoromethyl)benzyl] amino } acetic acid

[benzyl(4- { [4-(hexyloxy)benzoyl] amino } benzyl) amino] (oxo)acetic acid

oxo { [4-(trifluoromethyl)benzyl] [4-(10-undecenoylamino)benzyl] amino } acetic acid

oxo { { 4-[(9E)-9-tetradecenoylamino]benzyl } [4-(trifluoromethyl)benzyl] amino } acetic acid

10 { benzyl [4-(tridecanoylamino)benzyl] amino } (oxo)acetic acid

{ { 4-[(2-hydroxydodecyl)amino]benzyl } [4-(trifluoromethyl)benzyl] amino } - (oxo)-acetic acid

oxo { [4-(trifluoromethyl)benzyl] [4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl] - amino } - acetic acid

15 { ({ 5-[(dodecylamino)sulfonyl]-2-thienyl } methyl) [4-(trifluoromethyl)benzyl] amino } - (oxo)acetic acid

[{ 4-[(dodecylamino)carbonyl]benzyl } ({ 1-[(4-methoxyphenyl)sulfonyl]-4-piperidinyl } methyl) amino] (oxo)acetic acid

20 [{ 4-[(dodecylamino)carbonyl]benzyl } (2-carboxy-1-phenylethyl) amino] (oxo)acetic acid

- 301 -

[{4-[(dodecylamino)carbonyl]benzyl}(2-methoxy-1-methylethyl)amino](oxo)acetic acid

(4-bromo {4-[(dodecylamino)carbonyl]benzyl} anilino)(oxo)acetic acid

({4-[(dodecylamino)carbonyl]benzyl} anilino)(oxo)acetic acid

5 [(2-(3-chlorophenyl)ethyl) {4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl} [2-(3-methoxyphenyl)ethyl] amino} (oxo)acetic acid

10 { {4-[(dodecylamino)carbonyl]benzyl} [(d,l)-trans-2-phenylcyclopropyl] amino} - (oxo)acetic acid

[(d,l)-trans-2-(benzyloxy)cyclopentyl] {4-[(dodecylamino)carbonyl]benzyl} - amino) - (oxo)acetic acid

({4-[(dodecylamino)carbonyl]benzyl} -4-phenoxyanilino)(oxo)acetic acid

15 [{4-[(dodecylamino)carbonyl]benzyl} (1,2,3,4-tetrahydro-1-naphthalenyl) amino] - (oxo)acetic acid

((1-benzyl-4-piperidiny) {4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl} [2-(4-phenoxyphenyl)ethyl] amino} (oxo)acetic acid

20 { {4-[(dodecylamino)carbonyl]benzyl} [2-(2-phenoxyphenyl)ethyl] amino} (oxo)acetic acid

- 302 -

((2-[1,1'-biphenyl]-4-ylethyl){4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

(([1,1'-biphenyl]-3-ylmethyl){4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

5 (3-(benzyloxy){4-[(dodecylamino)carbonyl]benzyl} anilino)(oxo)acetic acid

([4-(benzoylamino)benzyl]{4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-3-phenyl-beta-alanine

10 {{4-[(dodecylamino)carbonyl]benzyl}[4-(1,2,3-thiadiazol-4-yl)benzyl]amino}-(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-pentylbenzyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1-phenylethyl)amino](oxo)acetic acid

{{4-[(dodecylamino)carbonyl]benzyl}[1-(1-naphthyl)ethyl]amino}(oxo)acetic acid

(benzyl{3-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

15 {{3-[(dodecylamino)carbonyl]benzyl}[4-(methylsulfonyl)benzyl]amino}(oxo)acetic acid

((3-cyanobenzyl){3-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

{{3-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

20 [(4-chlorobenzyl)(3-{[(4-pentylbenzyl)amino]carbonyl}benzyl)amino](oxo)acetic acid

- 303 -

oxo {[4-([2-(2-thienyl)ethyl]amino) carbonyl]benzyl}[4-(trifluoromethyl)-
benzyl]amino} acetic acid

{benzyl[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]-
amino}(oxo)acetic acid

5 {(3-cyanobenzyl)[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-
yl)methyl]amino}(oxo)acetic acid

{(4-chlorobenzyl)[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-
yl)methyl]amino}(oxo)acetic acid

10 {[3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl}[4-(trifluoro-
methyl)benzyl]amino}(oxo)acetic acid

((3-cyanobenzyl){[3'-([2-(4-phenoxyphenyl)ethyl]amino) carbonyl][1,1'-biphenyl]-
4-yl)methyl}amino}(oxo)acetic acid

oxo {[3'-([2-(4-phenoxyphenyl)ethyl]amino) carbonyl][1,1'-biphenyl]-4-yl)methyl}-
[4-(trifluoromethyl)benzyl]amino} acetic acid

15 [(3-cyanobenzyl)([3'-[(octylamino)carbonyl][1,1'-biphenyl]-4-yl)methyl]amino]-
(oxo)acetic acid

[(4-chlorobenzyl)([3'-[(octylamino)carbonyl][1,1'-biphenyl]-4-yl)methyl]amino)-
(oxo)acetic acid

20 {([3'-[(octylamino)carbonyl][1,1'-biphenyl]-4-yl)methyl}[4-(trifluoromethyl)-
benzyl]amino}(oxo)acetic acid

{(3-cyanobenzyl)[(3'-{[(3-phenylpropyl)amino]carbonyl}[1,1'-biphenyl]-4-
yl)methyl]amino}(oxo)acetic acid

- 304 -

[(3-cyanobenzyl)({3'-[(dodecylamino)carbonyl][1,1'-biphenyl]-4-yl}methyl)-amino]-
(oxo)acetic acid

[(4-chlorobenzyl)({3'-[(dodecylamino)carbonyl][1,1'-biphenyl]-4-yl}methyl)-amino]-
(oxo)acetic acid

5 {({3'-[(dodecylamino)carbonyl][1,1'-biphenyl]-4-yl}methyl)[4-(trifluoromethyl)-
benzyl]amino}(oxo)acetic acid

{benzyl[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]amino}-
(oxo)acetic acid

10 {(3-cyanobenzyl)[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-
methyl]amino}(oxo)acetic acid

{(4-chlorobenzyl)[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-
methyl]amino}(oxo)acetic acid

oxo{[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl][4-(trifluoro-
methyl)benzyl]amino}acetic acid

15 oxo{[(3'-{[(4-phenylbutyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl][4-(trifluoro-
methyl)benzyl]amino}acetic acid

{(3-cyanobenzyl)[(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-
methyl]amino}(oxo)acetic acid

20 {(4-chlorobenzyl)[(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-
methyl]amino}(oxo)acetic acid

{[(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl][4-(trifluoro-
methyl)benzyl]amino}(oxo)acetic acid

- 305 -

((4-chlorobenzyl){[3'-([2-(4-methoxyphenyl)ethyl]amino)carbonyl][1,1'-biphenyl]-4-yl]methyl}amino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-methoxybenzyl)amino](oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}[4-(methylsulfonyl)benzyl]amino}(oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(4-methoxybenzyl)amino](oxo)acetic acid

{3-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}{[6-(trifluoromethyl)-3-pyridinyl]methyl}-amino)(oxo)acetic acid

4-[(carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl}amino)methyl]benzoic acid

{3-[(dodecylamino)carbonyl]benzyl}{4-[hydroxy(oxido)amino]benzyl}-amino)(oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(2-fluorobenzyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(2-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-thienylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(4-hydroxybenzyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(4-phenoxybenzyl)amino](oxo)acetic acid

{3-[(dodecylamino)carbonyl]benzyl}{[6-(trifluoromethyl)-3-pyridinyl]methyl}-amino)(oxo)acetic acid

- 306 -

3-[[[(carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl} amino)methyl]benzoic acid

5-[[[(carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl} amino)methyl]-2-thiophenecarboxylic acid

5 {4-[(dodecylamino)carbonyl]benzyl} {4-[hydroxy(oxido)amino]-benzyl}-amino)-(oxo)acetic acid

((1,3-benzodioxol-5-ylmethyl){4-[(dodecylamino)carbonyl]-benzyl} amino)-(oxo)-acetic acid

[{4-[(dodecylamino)carbonyl]benzyl} (2-fluorobenzyl)amino](oxo)acetic acid

10 [{4-[(dodecylamino)carbonyl]benzyl} (4-phenoxybenzyl)amino](oxo)acetic acid

4-[[[(carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl} amino)methyl]benzoic acid

5-[[[(carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl} amino)methyl]-2-thiophenecarboxylic acid

15 [{3-[(dodecylamino)carbonyl]benzyl} (2-thienylmethyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl} (isopropyl)amino](oxo)acetic acid

((3,5-dichlorobenzyl){4-[(dodecylamino)carbonyl]benzyl} amino)(oxo)acetic acid

[(3,5-dichlorobenzyl)(4-[(3,3-diphenylpropyl)amino]carbonyl)-benzyl]amino)-(oxo)acetic acid

20 [(4-[(2-[1,1'-biphenyl]-4-ylethyl)amino]carbonyl)benzyl](3,5-dichlorobenzyl)-amino](oxo)acetic acid

- 307 -

[(1,3-benzodioxol-5-ylmethyl)(4-[(2-[1,1'-biphenyl]-4-ylethyl)amino]carbonyl)-benzyl)amino](oxo)acetic acid

(2,3-dihydro-1H-inden-1-yl{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

5 {2,3-dihydro-1H-inden-1-yl[4-({2-(4-phenoxyphenyl)ethyl}amino)-carbonyl]-benzyl]amino}(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-pyridinylmethyl)amino](oxo)acetic acid

[[4-(dimethylamino)benzyl]{4-[(dodecylamino)carbonyl]benzyl}amino](oxo)acetic acid

10 [{4-[(dodecylamino)carbonyl]benzyl}(3-pyridinylmethyl)amino](oxo)acetic acid

((4-cyanobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1,3-thiazol-2-ylmethyl)amino](oxo)acetic acid

(({4-[(dodecylamino)carbonyl]benzyl}{[2-(4-morpholinyl)-1,3-thiazol-5-yl]methyl}-amino)(oxo)acetic acid

15 [{3-[(dodecylamino)carbonyl]benzyl}(4-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-hydroxybenzyl)amino](oxo)acetic acid

((4-cyanobenzyl){3-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(1,3-thiazol-2-ylmethyl)amino](oxo)acetic acid

- 308 -

{3-[(dodecylamino)carbonyl]benzyl} {2-(4-morpholinyl)-1,3-thiazol-5-yl)methyl}-
amino)(oxo)acetic acid

((1,3-benzodioxol-5-ylmethyl) {3-[(dodecylamino)carbonyl]-benzyl} amino)-
(oxo)acetic acid

5 [4-[(dodecylamino)carbonyl]benzyl} (2-thienylmethyl)amino](oxo)acetic acid

[4-[(dodecylamino)carbonyl]benzyl} (2-pyridinylmethyl)amino](oxo)acetic acid

[4-[(dodecylamino)carbonyl]benzyl} (3-thienylmethyl)amino](oxo)acetic acid

[4-[(dodecylamino)carbonyl]benzyl} (4-hydroxybenzyl)amino](oxo)acetic acid

10 3-(((carboxycarbonyl) {4-[(dodecylamino)carbonyl]benzyl} amino)methyl]benzoic
acid

[cyclopentyl({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl)amino](oxo)acetic acid

[benzyl({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl)amino](oxo)acetic acid

((({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl) {3-[hydroxy(oxido)amino]-benzyl}-
amino)(oxo)acetic acid

15 [({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl)(4-methoxybenzyl)amino]-(oxo)-
acetic acid

[({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl)(2-fluorobenzyl)amino](oxo)acetic
acid

20 {({5-[(dodecylamino)sulfonyl]-2-thienyl} methyl)[4-(methylsulfonyl)-benzyl]-
amino}(oxo)acetic acid

[(5-[(dodecylamino)sulfonyl]-2-thienyl)methyl](4-phenoxybenzyl)amino)-(oxo)-acetic acid

4-[[carboxycarbonyl](5-[(dodecylamino)sulfonyl]-2-thienyl)methyl-amino]-methyl} benzoic acid

5 ((5-[(dodecylamino)sulfonyl]-2-thienyl)methyl){[6-(trifluoromethyl)-3-pyridinyl]-methyl}amino)(oxo)acetic acid

{{(5-[(dodecylamino)sulfonyl]-2-thienyl)methyl}[3-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid

10 [(3-chlorobenzyl)(5-[(dodecylamino)sulfonyl]-2-thienyl)methylamino)(oxo)acetic acid

{{(5-[[3,3-diphenylpropyl]amino]sulfonyl)-2-thienyl)methyl}[3-(trifluoromethyl)-benzyl]amino}(oxo)acetic acid

{(3-chlorobenzyl)[(5-[[3,3-diphenylpropyl]amino]sulfonyl)-2-thienyl)methyl]-amino}(oxo)acetic acid

15 oxo{[(5-([2-(4-phenoxyphenyl)ethyl]amino)sulfonyl)-2-thienyl)methyl][3-(trifluoromethyl)benzyl]amino}acetic acid

((3-chlorobenzyl){[5-([2-(4-phenoxyphenyl)ethyl]amino)sulfonyl]-2-thienyl]-methyl}amino)(oxo)acetic acid

20 {{{(5-([2-[1,1'-biphenyl]-4-ylethyl]amino)sulfonyl)-2-thienyl)methyl}[3-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

((1-[(cyclohexylamino)carbonyl]-4-piperidinyl)methyl){4-[(dodecylamino)-carbonyl]benzyl}amino)(oxo)acetic acid

- 310 -

((1-{[4-(dimethylamino)anilino]carbonyl}-4-piperidinyl)methyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

{{4-[(dodecylamino)carbonyl]benzyl}[(1-hexanoyl-4-piperidinyl)methyl]-amino}(oxo)acetic acid

5 {4-[(dodecylamino)carbonyl]benzyl}{[1-(3-iodobenzoyl)-4-piperidinyl]methyl}-amino)(oxo)acetic acid

{{4-[(dodecylamino)carbonyl]benzyl}[(1-{(2E)-3-[3-(trifluoromethyl)phenyl]-2-propenoyl}-4-piperidinyl)methyl]amino}(oxo)acetic acid

10 {{4-[(dodecylamino)carbonyl]benzyl}{[1-(2-quinoxalinylylcarbonyl)-4-piperidinyl]methyl}amino)(oxo)acetic acid

[[{1-[4-methoxyphenyl)sulfonyl]-4-piperidinyl}methyl](4-{[(4-phenoxybenzyl)amino]carbonyl}benzyl)amino](oxo)acetic acid

[[{1-(3-iodobenzoyl)-4-piperidinyl]methyl}(4-{[(4-phenoxybenzyl)amino]carbonyl}benzyl)amino](oxo)acetic acid

15 oxo{(4-{[(4-phenoxybenzyl)amino]carbonyl}benzyl)[(1-{(2E)-3-[3-(trifluoromethyl)phenyl]-2-propenoyl}-4-piperidinyl)methyl]amino}acetic acid

{{4-[(dodecylamino)carbonyl]phenyl}[2-(methoxycarbonyl)benzyl]-amino}(oxo)acetic acid

20 [[4-{[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl]-2-bromobenzyl](4-iodobenzyl)-amino)(oxo)acetic acid

[(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(4-iodobenzyl)amino](oxo)acetic acid

- 311 -

[{2-bromo-4-[(dodecylamino)carbonyl]benzyl}(4-iodobenzyl)amino](oxo)acetic acid

[(2,6-dibromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl}(4-iodobenzyl)amino)]-(oxo)acetic acid

5 ((4-iodobenzyl){[4'-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

{[2-bromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

{[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

10 {[2-bromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

{[2,6-dibromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

15 {[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

{(2,6-dibromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl)}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

{[2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl]}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

20 {[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]{[4'-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)-1,1'-biphenyl-4-yl]methyl}amino}(oxo)acetic acid

- 312 -

{{{4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl}methyl}[(4'-fluoro-1,1'-biphenyl-3-yl)methyl]amino}(oxo)acetic acid

{(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

5 {(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

oxo{{{4'-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)-1,1'-biphenyl-4-yl}methyl}-[2-(trifluoromethoxy)benzyl]amino}acetic acid

10 {{{4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl}methyl}[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

[[2-bromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl](3-phenoxybenzyl)amino](oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl](3-phenoxybenzyl)amino](oxo)acetic acid

15 [(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(3-phenoxybenzyl)-amino](oxo)acetic acid

[[2,6-dibromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl](3-phenoxybenzyl)amino](oxo)acetic acid

20 [[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl](3-phenoxybenzyl)amino](oxo)acetic acid

[(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(3-phenoxybenzyl)-amino](oxo)acetic acid

- 313 -

[{2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}(3-phenoxybenzyl)amino](oxo)-acetic acid

oxo((3-phenoxybenzyl){[4'-([2-(4-phenoxyphenyl)ethyl]amino)carbonyl]-1,1'-biphenyl-4-yl)methyl}amino)acetic acid

5 oxo[[4'-{[(4-pentylbenzyl)amino]carbonyl}-1,1'-biphenyl-4-yl)methyl](3-phenoxybenzyl)amino]acetic acid

[({4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl)methyl}(3-phenoxybenzyl)amino](oxo)acetic acid

10 [[2-bromo-4-([2-(4-phenoxyphenyl)ethyl]amino)carbonyl]benzyl](2-iodobenzyl)amino](oxo)acetic acid

[[4-([2-(1,1'-biphenyl-4-yl)ethyl]amino)carbonyl]-2-bromobenzyl](2-iodobenzyl)amino](oxo)acetic acid

[(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(2-iodobenzyl)amino](oxo)acetic acid

15 [{2-bromo-4-[(dodecylamino)carbonyl]benzyl}(2-iodobenzyl)amino](oxo)acetic acid

[(2-bromo-4-([2-(4-phenoxyphenyl)ethyl]amino)carbonyl)benzyl]{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl)methyl}amino](oxo)acetic acid

[[4-([2-(1,1'-biphenyl-4-yl)ethyl]amino)carbonyl]-2-bromobenzyl]{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl)methyl}amino](oxo)acetic acid

20 ((2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl)methyl}amino)(oxo)acetic acid

- 314 -

((2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

((2-bromo-4-{{(dodecylamino)carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

5 [[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl]{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

((2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

10 ((2,6-dibromo-4-{{(dodecylamino)carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

(({{4'-{{(dodecylamino)carbonyl}-1,1'-biphenyl-4-yl}methyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl](1,1'-biphenyl-2-ylmethyl)amino](oxo)acetic acid

15 [[(1,1'-biphenyl-2-ylmethyl)(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)-amino](oxo)acetic acid

((1,1'-biphenyl-2-ylmethyl){2-bromo-4-{{(dodecylamino)carbonyl}benzyl}-amino)(oxo)acetic acid

20 {{(1,1'-biphenyl-2-ylmethyl)[2,6-dibromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl]amino}(oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl](1,1'-biphenyl-2-ylmethyl)amino](oxo)acetic acid

- 315 -

[(1,1'-biphenyl-2-ylmethyl)(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}-benzyl)amino](oxo)acetic acid

((1,1'-biphenyl-2-ylmethyl){2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}-amino)(oxo)acetic acid

5 {2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{{2-bromo-4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethoxy)benzyl]amino}-(oxo)acetic acid

10 {(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{{2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{{2-bromo-4-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethoxy)benzyl]amino}-(oxo)acetic acid

15 {(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{{2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethoxy)benzyl]-amino}(oxo)acetic acid

20 {{{4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl}methyl)[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

[[2-bromo-4-{{2-(4-phenoxyphenyl)ethyl}amino}carbonyl]benzyl](4-phenoxy-benzyl)amino](oxo)acetic acid

- 316 -

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl](4-phenoxybenzyl)amino](oxo)acetic acid

[(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(4-phenoxybenzyl)-amino](oxo)acetic acid

5 [[{2-bromo-4-[(dodecylamino)carbonyl]benzyl}(4-phenoxybenzyl)amino](oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl](4-phenoxybenzyl)amino](oxo)acetic acid

10 [(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(4-phenoxybenzyl)-amino](oxo)acetic acid

{{[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

{{(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethyl)-benzyl]-amino}(oxo)acetic acid

15 {{[2-bromo-4-[(dodecylamino)carbonyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

{{(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethyl)-benzyl]amino}(oxo)acetic acid

20 {{[2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl][4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid

oxo{{[4'-{{(4-pentylbenzyl)amino}carbonyl}-1,1'-biphenyl-4-yl)methyl][4-(trifluoromethyl)benzyl]amino}acetic acid

- 317 -

{ {2-bromo-4-[(dodecylamino)carbonyl]benzyl} [3-(trifluoromethyl)benzyl]-
amino} (oxo)acetic acid

{ {2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl} [3-(trifluoromethyl)benzyl]-
amino} (oxo)acetic acid

5 oxo { { (4'-{ [(4-pentylbenzyl)amino]carbonyl } -1,1'-biphenyl-4-yl) methyl } [3-
(trifluoromethyl)benzyl]amino } acetic acid

{ (4-dibenzo[b,d]furan-4-ylbenzyl) [4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid

{ (4-dibenzo[b,d]furan-4-ylbenzyl) [4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid,
N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

10 { (4-[(dodecylamino)carbonyl]benzyl) { 1-[4-(trifluoromethyl)phenyl]ethyl } amino }-
(oxo)acetic acid

{ (4-[(dodecylamino)carbonyl]benzyl) { 1-[4-(trifluoromethyl)phenyl]ethyl } amino }-
(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

15 { { (4'-[(octylamino)carbonyl]-1,1'-biphenyl-4-yl) methyl } [4-(trifluoromethyl)benzyl]-
amino } (oxo)acetic acid

oxo { (4-tetradec-1-ynylbenzyl) [4-(trifluoromethyl)benzyl]amino } acetic acid

{ (4-dodec-1-ynylbenzyl) [4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid

{ { 4-[(dodecylamino)carbonyl]benzyl } [4-(trifluoromethyl)phenyl]amino } (oxo)acetic
acid

20 [{ 4-[(dodecylamino)carbonyl]benzyl } (2-methoxyphenyl)amino] (oxo)acetic acid

((1,2-diphenylethyl) { 4-[(dodecylamino)carbonyl]benzyl } amino) (oxo)acetic acid

- 318 -

N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-L-phenylalanine

[{4-[(dodecylamino)carbonyl]benzyl}(3-phenoxyphenyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(2-isopropoxyphenyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-iodophenyl)amino](oxo)acetic acid

5 {{4-[(dodecylamino)carbonyl]benzyl}[3-fluoro-4-(trifluoromethyl)benzyl]-
amino}(oxo)acetic acid

((3-chloro-2-methylphenyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic
acid

10 4'-((carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl}amino)-1,1'-biphenyl-2-
carboxylic acid

((2,4-dichlorobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1-phenylpropyl)amino](oxo)acetic acid

[(2-(4-chlorophenyl)propyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic
acid

15 [{4-[(dodecylamino)carbonyl]benzyl}(4-isopropoxyphenyl)amino](oxo)acetic acid

[(4-(benzyloxy)phenyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

{{4-[(dodecylamino)carbonyl]benzyl}[2-(trifluoromethyl)benzyl]amino}(oxo)acetic
acid

[{4-[(dodecylamino)carbonyl]benzyl}(2-methoxybenzyl)amino](oxo)acetic acid

- 319 -

5 (([(1R)-1-(4-chlorophenyl)ethyl]{4-[(dodecylamino)carbonyl]benzyl}amino)-
 (oxo)acetic acid

 ((3,4-dichlorobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

 ((1-benzothien-3-ylmethyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic
 acid

 ([2-(2,6-dichlorophenyl)ethyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic
 acid

 ({4-[(dodecylamino)carbonyl]benzyl}{2-[3-(trifluoromethyl)phenyl]ethyl}-amino)-
 (oxo)acetic acid

10 {{4-[(dodecylamino)carbonyl]benzyl}[2-(3-fluorophenyl)ethyl]amino}(oxo)acetic
 acid

 ([(1S)-1-(4-chlorophenyl)ethyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)-
 acetic acid

 {{4-[(dodecylamino)carbonyl]benzyl}[(1S)-1-phenylethyl]amino}(oxo)acetic acid

15 {{4-[(dodecylamino)carbonyl]benzyl}[(1R)-1-phenylethyl]amino}(oxo)acetic acid

 ([3-(benzyloxy)phenyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

 N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-D-phenylalanine

 {{4-[(dodecylamino)carbonyl]phenyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic
 acid

20 {{4-[(dodecylamino)carbonyl]phenyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic
 acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

- 320 -

oxo{ {1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid

oxo{ {1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-

(methylamino)glucitol) salt;

((2-butyl-1-benzofuran-3-yl)methyl){4-[(dodecylamino)carbonyl]benzyl}-amino)(oxo)acetic acid;

{(1-{4-[(dodecylamino)carbonyl]phenyl}ethyl)[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{(1-{4-[(dodecylamino)carbonyl]phenyl}ethyl)[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-{[(4-octylphenyl)amino]carbonyl}benzyl)[4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid;

{(3-chlorobenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{(3-chlorobenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{{cyclopentyl[4-(trifluoromethyl)phenyl]methyl}[4-(tridecanoylamino)benzyl]-amino}(oxo)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{[4-(3-undecyl-1,2,4-oxadiazol-5-yl)-1-naphthyl]-methyl}amino)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{[4-(3-undecyl-1,2,4-oxadiazol-5-yl)-1-naphthyl]-methyl}amino)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-

- 321 -

glucitol) salt;

{{cyclopentyl[4-(trifluoromethyl)phenyl]methyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{{cyclopentyl[4-(trifluoromethyl)phenyl]methyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{{(4-dibenzo[b,d]furan-4-ylphenyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{{(4-dibenzo[b,d]furan-4-ylphenyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{{[4-(octyloxy)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{{[4-(octyloxy)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

[[2-(3-chlorophenyl)ethyl](4-dec-1-ynylbenzyl)amino](oxo)acetic acid;

[[2-(3-chlorophenyl)ethyl]{4-[(1Z)-dec-1-enyl]benzyl}amino}(oxo)acetic acid;

{{[2-(3-chlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{{[2-(3-chlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo {{(1R)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid;

oxo {{(1R)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-

yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-glucitol) salt;

oxo{[4-(trifluoromethyl)phenyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-acetic acid;

5 oxo{[4-(trifluoromethyl)phenyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{((1S)-1-[4-(trifluoromethyl)phenyl]ethyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid;

10 oxo{((1S)-1-[4-(trifluoromethyl)phenyl]ethyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-glucitol) salt;

[(3-chlorobenzyl)(4-dec-1-ynylbenzyl)amino](oxo)acetic acid;

[(3-chlorobenzyl)(4-dec-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

15 [[2-(3-chlorophenyl)ethyl](4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

[[2-(3-chlorophenyl)ethyl](4-oct-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid;

((4-dec-1-ynylbenzyl){1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)acetic acid;

20 ((4-dec-1-ynylbenzyl){1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

- 323 -

{{1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{{1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-

(methylamino)glucitol) salt;

{[2-(3-chlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(3-chlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{{[4-(dodecyloxy)-1-naphthyl]methyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{{[4-(dodecyloxy)-1-naphthyl]methyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

[(4-bromobenzyl)(4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

[{4-[(dodecylamino)carbonyl]benzyl}(2-hydroxy-1-phenylethyl)amino](oxo)acetic acid;

((4-dec-1-ynylbenzyl){1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)-

- 324 -

acetic acid;

((4-dec-1-ynylbenzyl){1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)-

acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{ {4-[(9Z)-tetradec-9-enoylamino]benzyl} [4-(trifluoromethyl)benzyl]amino }-

5 acetic acid;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

oxo{ [4-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-

amino}acetic acid;

oxo{ [4-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino }-

10 acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-dodecylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodecylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-({[(2-butyl-1-benzofuran-3-yl)methyl]amino}carbonyl)benzyl][4-(trifluoro-

15 methyl)benzyl]amino}(oxo)acetic acid;

{(4-{[4-(benzyloxy)benzoyl]amino}benzyl)[4-(trifluoromethyl)benzyl]amino}-

(oxo)acetic acid;

{(3,5-dichlorobenzyl)[4-(tridecanoylamino)benzyl]amino}(oxo)acetic acid;

{(3,5-dichlorobenzyl)[4-(tridecanoylamino)benzyl]amino}(oxo)acetic acid, N-

20 methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{ {4-[(4-octylphenyl)ethynyl]benzyl} [4-(trifluoromethyl)benzyl]amino } (oxo)acetic

- 325 -

acid;

oxo{[4-(trifluoromethyl)benzyl][4-(5-undecyl-1,2,4-oxadiazol-3-yl)benzyl]amino}-

acetic acid;

oxo{[4-(trifluoromethyl)benzyl][4-(5-undecyl-1,2,4-oxadiazol-3-yl)benzyl]amino}-

acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-[2-(4-octylphenyl)ethyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-{[4-(heptyloxy)phenyl]ethynyl}benzyl][4-(trifluoromethyl)benzyl]amino}-

(oxo)acetic acid;

{[4-{[4-(4-butylphenyl)ethynyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-{[4-(4-hexylphenyl)ethynyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-{[4-(4-hexylphenyl)ethynyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{[4-{[4-(4-pentyloxy)phenyl]ethynyl}benzyl][4-(trifluoromethyl)benzyl]-amino}-

acetic acid;

oxo{[4-{[4-(4-propylphenyl)ethynyl]benzyl][4-(trifluoromethyl)benzyl]amino}acetic

acid;

[[2-(3-chlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino](oxo)acetic acid;

[[2-(3-chlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-

- 326 -

D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-oct-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[4-(11-hydroxyundec-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[4-(11-methoxy-11-oxoundec-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

11-[4-({(carboxycarbonyl)[4-(trifluoromethyl)benzyl]amino}methyl)phenyl]undec-10-ynoic acid;

{(4-{[4-(benzyloxy)phenyl]ethynyl}benzyl)[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{(4-{2-[4-(heptyloxy)phenyl]ethyl}benzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)-acetic acid;

{4-[2-(4-butylphenyl)ethyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{4-[2-(4-hexylphenyl)ethyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{4-[2-(4-hexylphenyl)ethyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{(4-{2-[4-(pentyloxy)phenyl]ethyl}benzyl)[4-(trifluoromethyl)benzyl]-amino}acetic acid;

oxo{4-[2-(4-propylphenyl)ethyl]benzyl}[4-(trifluoromethyl)benzyl]amino}acetic

- 327 -

acid;

11-[4-((carboxycarbonyl)[4-(trifluoromethyl)benzyl]amino)methyl]phenyl]-

undecanoic acid;

{[4-(11-hydroxyundecyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo([4-(trifluoromethyl)benzyl]{4-[2-(3-undecyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl}-amino)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{4-[2-(3-undecyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl}-amino)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-[2-(3-octyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl][4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid;

{[4-[2-(3-octyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl][4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-[(4-octylbenzoyl)amino]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[4-[(4-octylbenzoyl)amino]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

- 328 -

oxo{[(1-tridecanoylpiperidin-4-yl)methyl][4-(trifluoromethyl)benzyl]amino}acetic acid;

{[[1-(4-octylbenzoyl)piperidin-4-yl)methyl][4-(trifluoromethyl)benzyl]-amino}-(oxo)acetic acid;

{[[1-(4-octylbenzoyl)piperidin-4-yl)methyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[(3-dec-1-ynyl-1-benzofuran-5-yl)methyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{[(3-dodec-1-ynyl-1-benzofuran-5-yl)methyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

oxo{[{3-[(4-propylphenyl)ethynyl]-1-benzofuran-5-yl}methyl][4-(trifluoromethyl)benzyl]amino}acetic acid;

[(4-dodec-1-ynylbenzyl)(4-fluorobenzyl)amino](oxo)acetic acid;

[bis(4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

{[(6-dodec-1-ynylpyridin-3-yl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(3-dodec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[2-(2-fluorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(2-fluorophenyl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

- 329 -

{[2-(2-fluorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

oxo{5,6,7,8-tetrahydronaphthalen-1-yl[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid;

oxo{5,6,7,8-tetrahydronaphthalen-1-yl[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid;

[[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl](5,6,7,8-tetrahydronaphthalen-1-yl)amino]-(oxo)acetic acid;

{(1,1'-biphenyl-3-yl)methyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

- 330 -

(oxo)acetic acid;

{(1,1'-biphenyl-3-ylmethyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

(oxo)acetic acid;

{(1,1'-biphenyl-3-ylmethyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)-

5 acetic acid;

{(1-benzothien-3-ylmethyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)-

acetic acid;

{(1-benzothien-3-ylmethyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

10 {(1-benzothien-3-ylmethyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

oxo {[2-(trifluoromethyl)benzyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

acetic acid;

oxo {[2-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-

15 yl)benzyl]amino} acetic acid;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][2-(trifluoromethyl)benzyl]amino}(oxo)-

acetic acid;

oxo {[3-(trifluoromethyl)benzyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}-

acetic acid;

20 oxo {[3-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}-

acetic acid;

- 331 -

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][3-(trifluoromethyl)benzyl]amino}-(oxo)-
acetic acid;

{(2-methoxybenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic
acid {(2-methoxybenzyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

{(2-methoxybenzyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

oxo{ {4-[(trifluoromethyl)sulfonyl]benzyl} [4-(3-undecyl-1,2,4-oxadiazol-5-yl)-
benzyl]amino}acetic acid;

oxo{ {4-[(trifluoromethyl)sulfonyl]benzyl} [3-(3-undecyl-1,2,4-oxadiazol-5-yl)-
benzyl]amino}acetic acid;

[(4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl){4-[(trifluoromethyl)-sulfonyl]benzyl}-
amino}(oxo)acetic acid;

{1,3-benzodioxol-5-yl[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic
acid;

{1,3-benzodioxol-5-yl[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic
acid;

{1,3-benzodioxol-5-yl[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic
acid;

{[(4-dodec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic
acid;

{[(4-dec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

- 332 -

acid;

{[(4-dec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

oxo{[4-(trifluoromethyl)benzyl][4-(4-undecyl-1,3-thiazol-2-yl)benzyl]amino}acetic

acid;

{(4-dec-1-ynylbenzyl)[2-(2-fluorophenyl)ethyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[2-(2-fluorophenyl)ethyl]amino}(oxo)acetic acid;

{[4-(dodecyloxy)-1-naphthyl]methyl}[2-(2-fluorophenyl)ethyl]amino}(oxo)acetic

acid;

{[2-(2-fluorophenyl)ethyl][4-(octyloxy)benzyl]amino}(oxo)acetic acid;

{(4-dec-1-ynylbenzyl)[2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[4-(dodecyloxy)-1-naphthyl]methyl}[2-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-(octyloxy)benzyl][2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dec-1-ynylbenzyl)[2-(3,4-dichlorophenyl)ethyl]amino}(oxo)acetic acid;

[[2-(3,4-dichlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino](oxo)acetic acid;

([2-(3,4-dichlorophenyl)ethyl]{[4-(dodecyloxy)-1-

naphthyl]methyl}amino)(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(octyloxy)benzyl]amino}(oxo)acetic acid;

((4-[(4-hexylphenyl)ethynyl]benzyl){1-methyl-1-[4-

- 333 -

(trifluoromethyl)phenyl]ethyl} amino)(oxo)acetic acid;

{[4-(5-cyclohexylpent-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{ {3-[(4-hexylphenyl)ethynyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[4-(4-ethyl-3-hydroxyoct-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)-acetic acid;

{(2-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

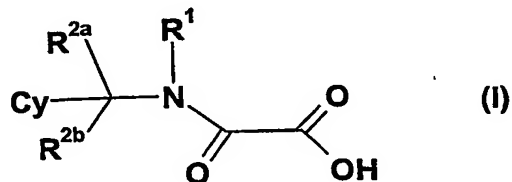
{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, L-lysine salt;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, tromethamine (i.e. (2-amino-2-hydroxymethyl)-1,3-propanediol) salt;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, L-Arginine salt;

Sodium {(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetate.

16. Substituted methylene amide derivative of Formula (I) :



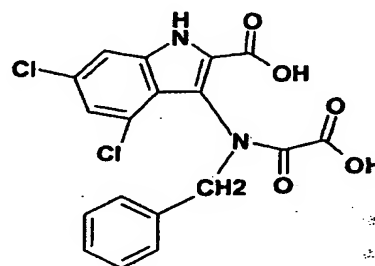
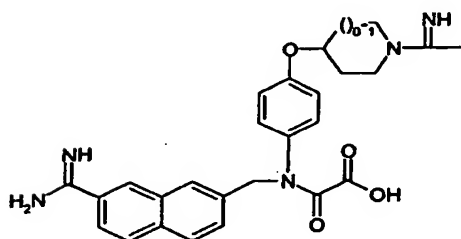
- 334 -

as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

R^1 is selected from the group consisting of (C_1-C_{12}) alkyl, (C_2-C_{12}) alkenyl, (C_2-C_{12}) alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, (C_1-C_{12}) alkyl-aryl or (C_1-C_{12}) alkyl-heteroaryl, (C_2-C_{12}) alkenyl-aryl or -heteroaryl, (C_2-C_{12}) alkynyl-aryl or -heteroaryl;

R^{2a} and R^{2b} are each independently from each other selected from the group comprising or consisting of H or (C_1-C_{12}) alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle, for use as a medicament, with the proviso that the following compounds are excluded :



17. Substituted methylene amide derivative according to claim 16 wherein

R^{2a} and R^{2b} are each H;

R^1 is $-CH_2-A$, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, $-NO_2$, trifluoromethyl;

- 335 -

Cy is a thienyl, phenyl or biphenyl being substituted by $-\text{SO}_2\text{R}^3$, $-\text{CO}-\text{NR}^3\text{R}^{3'}$ in which $\text{R}^{3'}$ is H and R^3 is $(\text{C}_7-\text{C}_{15})$ alkyl, particularly $(\text{C}_8-\text{C}_{15})$ alkyl and more particularly a dodecyl group.

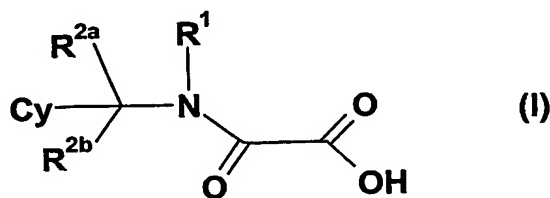
18. Substituted methylene amide derivative of Formula according to claim 16 wherein

R^{2a} and R^{2b} are each H,

R^1 is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by (C_1-C_6) alkyl group or a cycloalkyl group;

Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of $-\text{NH}-\text{CO}-\text{R}^3$, $-\text{CO}-\text{NH}-\text{R}^3$, or an oxadiazole group substituted with R^3 , wherein R^3 is $(\text{C}_7-\text{C}_{15})$ alkyl, particularly $(\text{C}_8-\text{C}_{15})$ alkyl and more particularly a dodecyl group.

19. Use of a substituted methylene amide derivative according to formula (I):



as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

R^1 is selected from the group consisting of H, $(\text{C}_1-\text{C}_{12})$ alkyl, $(\text{C}_2-\text{C}_{12})$ alkenyl, $(\text{C}_2-\text{C}_{12})$ alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, $(\text{C}_1-\text{C}_{12})$ alkyl-aryl or $(\text{C}_1-\text{C}_{12})$ alkyl-heteroaryl, $(\text{C}_2-\text{C}_{12})$ alkenyl-aryl or -heteroaryl, $(\text{C}_2-\text{C}_{12})$ alkynyl-aryl or -heteroaryl;

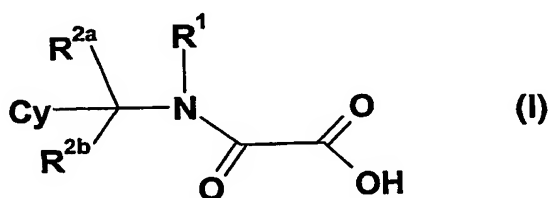
- 336 -

R^{2a} and R^{2b} are each independently from each other selected from the group comprising or consisting of H or (C₁-C₁₂)alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle,

for the preparation of a medicament for the treatment and/or prevention of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate glucose tolerance, insulin resistance, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, obesity, polycystic ovary syndrome (PCOS).

20. Use of a substituted methylene amide derivative according to formula (I):



as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

R^1 is selected from the group consisting of H, (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, (C₁-C₁₂)alkyl-aryl or (C₁-C₁₂)alkyl-heteroaryl, (C₂-C₁₂)alkenyl-aryl or -heteroaryl, (C₂-C₁₂)alkynyl-aryl or -heteroaryl;

R^{2a} and R^{2b} are each independently from each other selected from the group comprising or consisting of H or (C₁-C₁₂)alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle,

- 337 -

for the preparation of a medicament for the treatment and/or prevention of diabetes type II, obesity or for appetite regulation.

21. Use of substituted methylene amide derivative according to claim 19 or 20 wherein

R^{2a} and R^{2b} are each H;

5 R^1 is $-\text{CH}_2\text{-A}$, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, $-\text{NO}_2$, trifluoromethyl;

Cy is a thienyl, phenyl or biphenyl being substituted by $-\text{SO}_2\text{R}^3$, $-\text{CO-NR}^3\text{R}^{3'}$ in which $\text{R}^{3'}$ is H and R^3 is $(\text{C}_7\text{-C}_{15})$ alkyl, particularly $(\text{C}_8\text{-C}_{15})$ alkyl and more particularly a dodecyl group.

- 10 22. Use of substituted methylene amide derivative according to any of claims 19 to 21 wherein

R^{2a} and R^{2b} are each H;

R^1 is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by $(\text{C}_1\text{-C}_6)$ alkyl group or a cycloalkyl group;

15 Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of $-\text{NH-CO-R}^3$, $-\text{CO-NH-R}^3$, or an oxadiazole group substituted with R^3 , wherein R^3 is $(\text{C}_7\text{-C}_{15})$ alkyl, particularly $(\text{C}_8\text{-C}_{15})$ alkyl and more particularly a dodecyl group.

- 20 23. Use of a substituted methylene amide derivative according to any of claims 19 to 22 for the preparation of a pharmaceutical composition for the modulation of the activity of PTPs.

24. Use according to claim 23 wherein the PTP is PTP1B.

- 338 -

25. Use according to claim 23 wherein said modulation consists in the inhibition of PTP1B.

26. Use according to claim 25 for the treatment or prevention of disorders mediated by PTP1B.

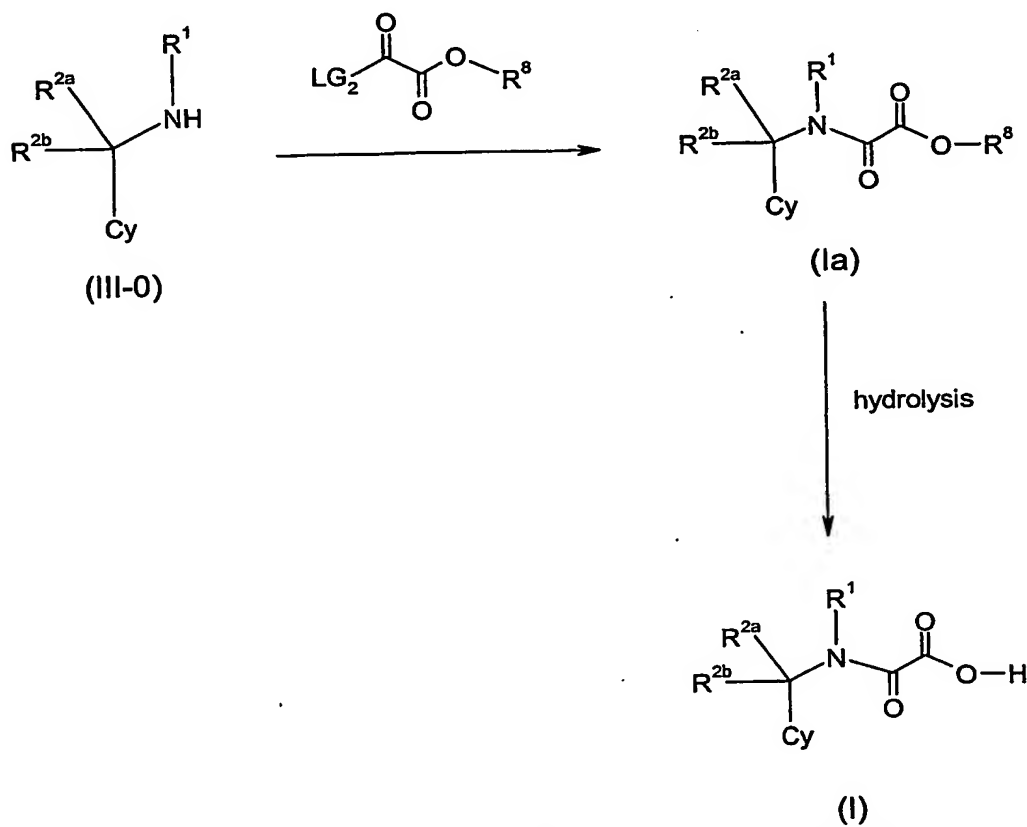
5 27. A pharmaceutical composition containing at least one substituted methylene amide derivative according to any of claims 1 to 15 and a pharmaceutically acceptable carrier, diluent or excipient thereof.

10 28. A pharmaceutical composition according to claim 27 further comprising at least one supplementary drug selected from the group consisting of insulin, aldose reductase inhibitors, alpha-glucosidase inhibitors, sulfonyl urea agents, biguanides (e.g. metformin), thiazolidines, PPARs agonists, c-Jun Kinase or GSK-3 inhibitors.

15 29. A pharmaceutical composition according to claim 28 wherein said supplementary drug is selected from the group consisting of a rapid acting insulin, an intermediate acting insulin, a long acting insulin, a combination of intermediate and rapid acting insulins, Minalrestat, Tolrestat, Sorbinil, Methosorbinil, Zopolrestat, Epalrestat, Zenarestat, Imirestat, Ponalrestat, ONO-2235, GP-1447, CT-112, BAL-ARI 8, AD-5467, ZD5522, M-16209, NZ-314, M-79175, SPR-210, ADN 138, or SNK-860, Miglitol, Acarbose, Glipizide, Glyburide, Chlorpropamide, Tolbutamide, Tolazamide, or Glimepiride.

- 339 -

30. A method of preparing a substituted methylene amide derivative according to any of claims 1 to 15, comprising the coupling step between amine derivative of formula (III-

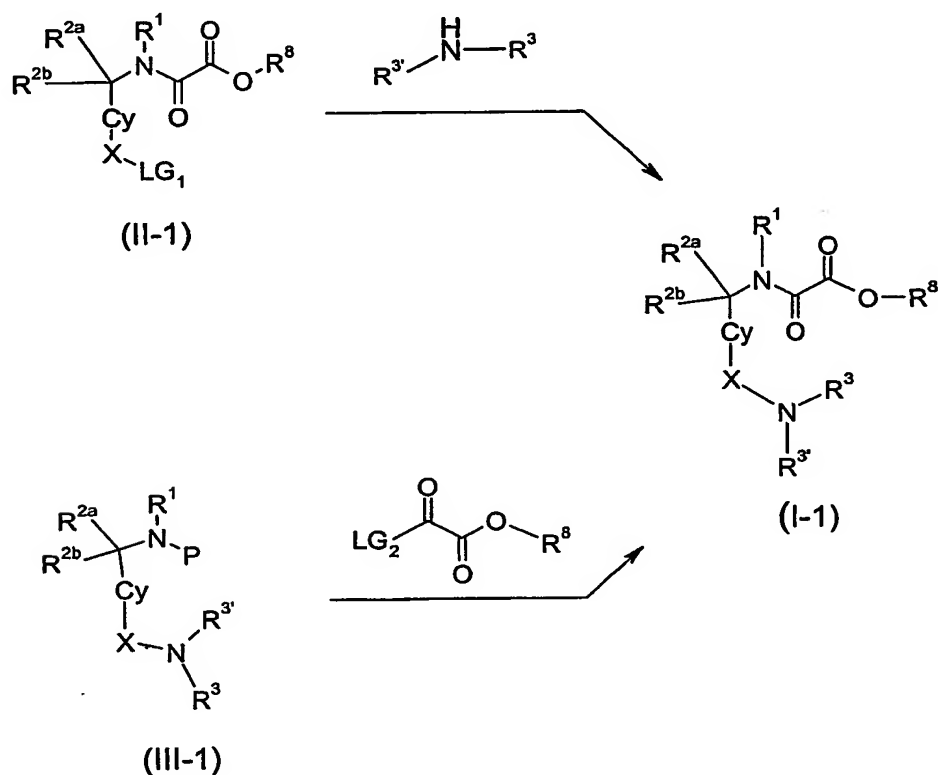


0) and an ester of formula $\text{LG}_2\text{-CO-CO-OR}^8$, followed by a hydrolysis:

wherein Cy, R^1 , R^{2a} , R^{2b} are as above-defined, R^8 is a $(\text{C}_1\text{-C}_6)$ alkyl or cycloalkyl and
 5 LG₂ is a leaving group selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl.

- 340 -

31. A method of preparation of a substituted methylene amide derivative according to any of claims 1 to 5 and 9 to 15, comprising the step of providing the corresponding ester of formula (I-1):

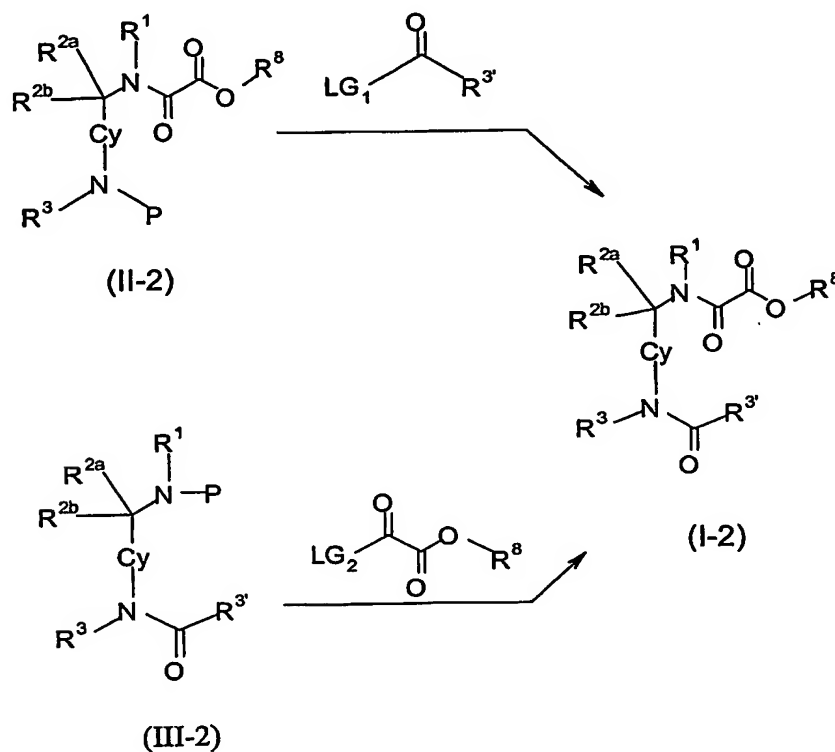


wherein X is -CO- or -SO₂-, LG₁ is Cl, OH, -Obn, O-Alkyl or O-Alkylaryl and LG₂ is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl, R⁸ is a (C₁-C₆)alkyl or cycloalkyl, P is H or a protective group selected from Boc or Fmoc, R¹, R^{2a}, R^{2b}, R³ and R^{3'} are as above defined;

and a subsequent hydrolysis step thus yielding the methylene amide derivative of formula (I).

- 341 -

32. A method of preparing a substituted methylene amide derivative of formula (I) according to any of claims 1 to 5, 9 to 11, 14 and 15 comprising the step of providing the corresponding ester of formula (I-2):

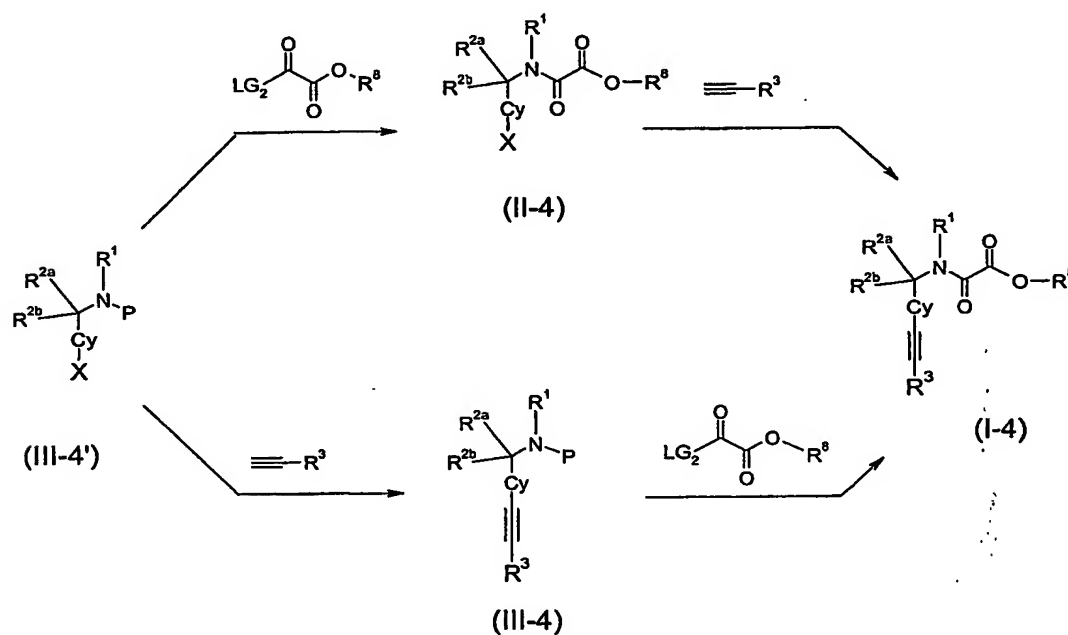


wherein LG_1 is Cl, OH, OBn, O-Alkyl or O-Alkylaryl and LG_2 is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl, R^8 is a $\text{C}_1\text{-C}_6$ alkyl or cycloalkyl, P is H or a protective group selected from Boc or Fmoc, R^1 , R^{2a} , R^{2b} , R^3 and $\text{R}^{3'}$ are as above defined;

and a subsequent hydrolysis step, thus yielding the methylene amide derivative of formula (I).

- 342 -

33. A method of preparing a substituted methylene amide derivative according to any of claims 1 to 11 and 15, comprising the step of providing the corresponding ester of formula (I-4):



wherein X is halogen atom selected from the group consisting of Br, I Cl or a leaving group such as $-\text{OSO}_2\text{CF}_3$, R⁸ is an alkyl group, LG₂ is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl, P is H or a protective group selected from Boc or Fmoc, R¹, R^{2a}, R^{2b} and R³ are as above defined;

and a subsequent hydrolysis step, thus yielding the methylene amide derivative of formula (I).